



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07H 17/00	A1	(11) International Publication Number: WO 99/58545 (43) International Publication Date: 18 November 1999 (18.11.99)
(21) International Application Number: PCT/GB99/01508 (22) International Filing Date: 12 May 1999 (12.05.99) (30) Priority Data: 124460 13 May 1998 (13.05.98) IL 9900832.8 15 January 1999 (15.01.99) GB (71) Applicant (<i>for all designated States except US</i>): CENES LIMITED [GB/GB]; Compass House, Vision Park, Chivers Way, Histon, Cambridge CB4 4ZR (GB). (72) Inventors; and (75) Inventors/Applicants (<i>for US only</i>): GUTMAN, Arie, L. [IL/IL]; Kroch Street 2, Ramat, Begin, 34752 Haifa (IL); NISNEVITCH, Genadi [IL/IL]; Tsahal Street 10/24, 36740 Neshet (IL). YUDOVITCH, Lev [IL/IL]; Ta'anach Street 24/10, 32161 Haifa (IL). ROKHMAN, Igor [IL/IL]; Moshe Sharet Street 83/4, 29000 Kyriat Yam (IL). (74) Agent: DAVIES, Jonathan, Mark; Reddie & Grose, 16 Theobalds Road, London WCLX 8PL (GB).	(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>	
(54) Title: PROCESS FOR PREPARATION OF 4,5-EPOXYMORPHINAN-6-OXYGLUCURONIDES (57) Abstract <p>Conjugation of 4,5-Epoxymorphinan-6-ols with Bromoglucuronides in the presence of Zinc containing compounds as activator under conditions capable of forming 4,5-Epoxymorphinan-6-oxyglucuronides is disclosed. This novel approach provides an efficient method for preparation of both anomers of 4,5-Epoxymorphinan-6-oxyglucuronides. The deprotected end products are useful as analgesic agents.</p>		